

10/808.027

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|----|--------|---|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | May 12 | EXTEND option available in structure searching |
| NEWS | 4 | May 12 | Polymer links for the POLYLINK command completed in REGISTRY |
| NEWS | 5 | May 27 | New UPM (Update Code Maximum) field for more efficient patent SDIs in Caplus |
| NEWS | 6 | May 27 | Caplus super roles and document types searchable in REGISTRY |
| NEWS | 7 | Jun 28 | Additional enzyme-catalyzed reactions added to CASREACT |
| NEWS | 8 | Jun 28 | ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R) |
| NEWS | 9 | Jul 12 | BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS |
| NEWS | 10 | Jul 30 | BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting |
| NEWS | 11 | AUG 02 | IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields |
| NEWS | 12 | AUG 02 | Caplus and CA patent records enhanced with European and Japan Patent Office Classifications |
| NEWS | 13 | AUG 02 | STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting |
| NEWS | 14 | AUG 02 | The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available |
| NEWS | 15 | AUG 04 | Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004 |
| NEWS | 16 | AUG 27 | BIOCOMMERCE: Changes and enhancements to content coverage |
| NEWS | 17 | AUG 27 | BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC |
| NEWS | 18 | SEP 01 | INPADOC: New family current-awareness alert (SDI) available |
| NEWS | 19 | SEP 01 | New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! |
| NEWS | 20 | SEP 01 | New display format, HITSTR, available in WPIDS/WPINDEX/WPIX |
| NEWS | 21 | SEP 14 | STN Patent Forum to be held October 13, 2004, in Iselin, NJ |
| NEWS EXPRESS | | | JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004 |
| NEWS HOURS | | | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | | | General Internet Information |
| NEWS LOGIN | | | Welcome Banner and News Items |
| NEWS PHONE | | | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | | | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 15:53:48 ON 21 SEP 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 15:54:01 ON 21 SEP 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 SEP 2004 HIGHEST RN 748739-98-2

DICTIONARY FILE UPDATES: 20 SEP 2004 HIGHEST RN 748739-98-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

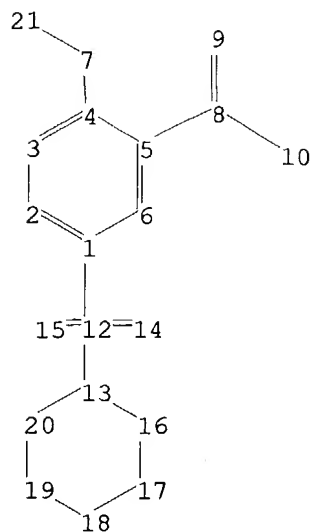
=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>

Uploading C:\STNEXP4\QUERIES\10808027-2.str

Chemical structure of a substituted benzene ring. The benzene ring is substituted with an Ak group via an oxygen atom at the 1-position, a carbonyl group ($\text{C}=\text{O}$) bonded to G_1 at the 2-position, and a sulfonamide group ($-\text{SO}_2-\text{N}$ -methylpiperazine) at the 4-position.



G1:O,N

| | |
|----|-----|
| L1 | STR |
|----|-----|

10/808.027

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 15:54:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14 TO ITERATE

100.0% PROCESSED 14 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 56 TO 504

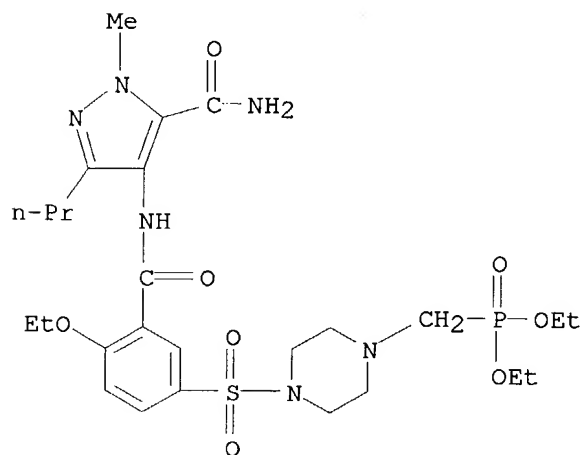
PROJECTED ANSWERS: 4 TO 200

L3 4 SEA SSS SAM L1

=> d scan

10/808.027

L3 4 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, diethyl ester (9CI)
MF C26 H41 N6 O8 P S

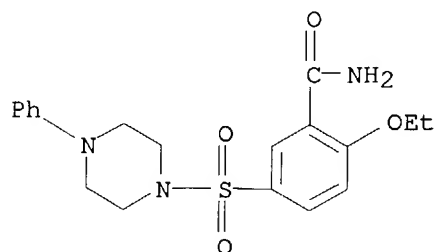


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

10/808.027

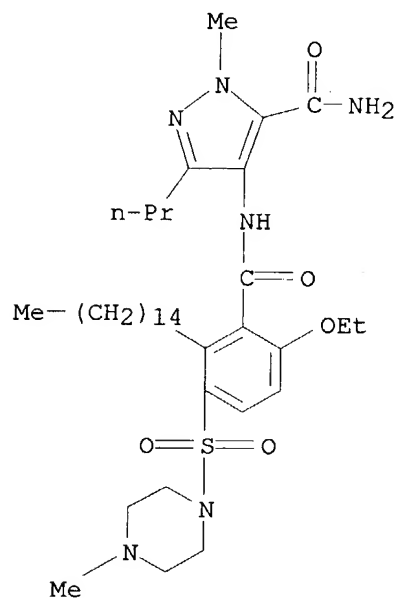
L3 4 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Benzamide, 2-ethoxy-5-[(4-phenyl-1-piperazinyl)sulfonyl]- (9CI)
MF C19 H23 N3 O4 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/808.027

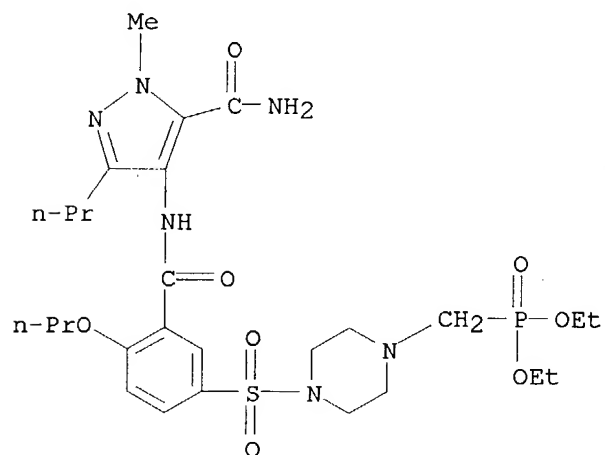
L3 4 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN 1H-Pyrazole-5-carboxamide, 4-[[6-ethoxy-3-[(4-methyl-1-
MF C37 H62 N6 O5 S piperazinyl)sulfonyl]-2-pentadecylbenzoyl]amino]-1-methyl-3-propyl- (9CI)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

10/808.027

L3 4 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, diethyl ester (9CI)
MF C27 H43 N6 O8 P S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

10/808.027

=> s l1 sss ful
FULL SEARCH INITIATED 15:54:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 234 TO ITERATE

100.0% PROCESSED 234 ITERATIONS 58 ANSWERS
SEARCH TIME: 00.00.01

L4 58 SEA SSS FUL L1

| => file caplus | | |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 155.84 | 156.05 |

FILE 'CAPLUS' ENTERED AT 15:55:00 ON 21 SEP 2004
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FILE COVERS 1907 - 21 Sep 2004 VOL 141 ISS 13
FILE LAST UPDATED: 20 Sep 2004 (20040920/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4
L5 27 L4
=> d l5 1-27 bib hitstr

10/808.027

L5 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:662568 CAPLUS
DN 141:140462

TI A process for the preparation of sildenafil and its intermediates
IN Ceganec, Ivica; Litvic, Mladen; Ljubic, Goranka; Mikuldas, Hrvoje;
Mikotic-Mihun, Zvonimira

PA Belupo - Lijekovi i Kozmetika D.O.O., Croatia

SO Croat. Pat. Appl., 10 pp.

CODEN: HRXXB9

DT Patent

LA Croatian

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------|------|----------|-----------------|----------|
| PI | HR 2000000279 | A1 | 20011231 | HR 2000-279 | 20000509 |
| PRAI | HR 2000-279 | | 20000509 | | |

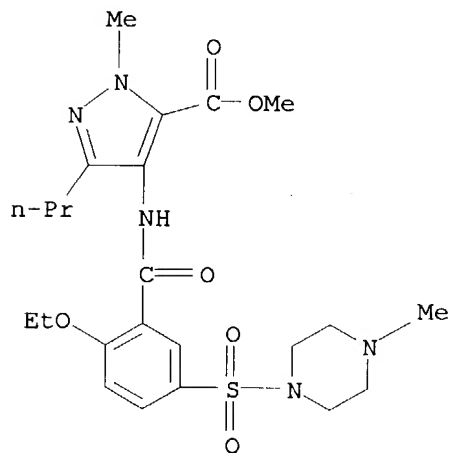
OS MARPAT 141:140462

IT **727408-29-9P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(target intermediate; preparation of sildenafil via heterocyclization of intermediate (N-pyrazolyl)benzamide derivs.)

RN 727408-29-9 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl-, methyl ester (9CI)
(CA INDEX NAME)



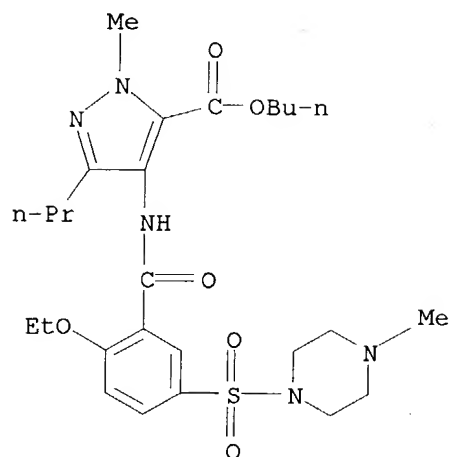
IT **727408-30-2P 727408-31-3P 727408-32-4P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

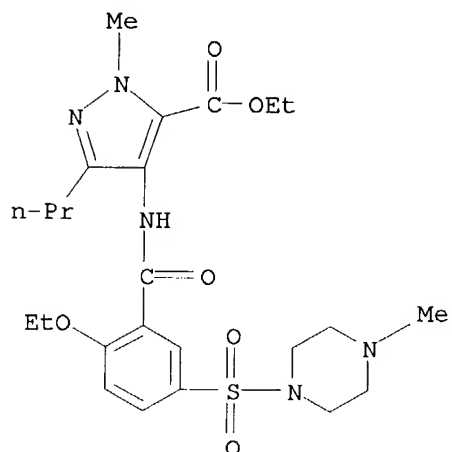
(target intermediate; preparation of sildenafil via heterocyclization of intermediate (N-pyrazolyl)benzamide derivs.)

RN 727408-30-2 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl-, butyl ester (9CI)
(CA INDEX NAME)

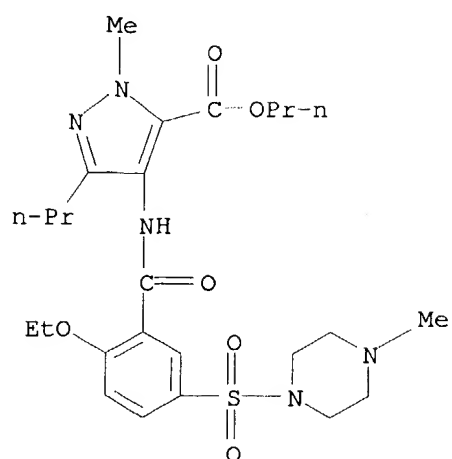


RN 727408-31-3 CAPLUS
 CN 1H-Pyrazole-5-carboxylic acid, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl-, ethyl ester (9CI)
 (CA INDEX NAME)



RN 727408-32-4 CAPLUS
 CN 1H-Pyrazole-5-carboxylic acid, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl-, propyl ester (9CI)
 (CA INDEX NAME)

10/808.027



L5 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:303269 CAPLUS

DN 141:71608

TI Synthesis and phosphodiesterase 5 inhibitory activity of new sildenafil analogues containing a phosphonate group in the 5'-sulfonamide moiety of phenyl ring

AU Kim, Dae-Kee; Lee, Ju Young; Park, Hyun-Ju; Thai, Khac Minh

CS College of Pharmacy, Ewha Womans University, Seoul, 120-750, S. Korea

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2099-2103

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

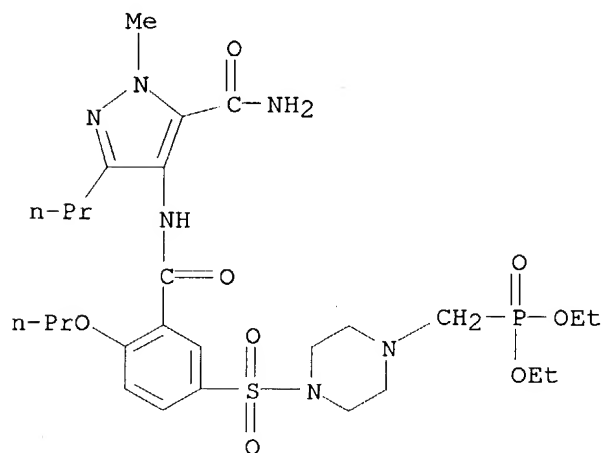
IT **374776-53-1P 712349-25-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization reaction of piperazinyl phosphonate chlorosulfonyl derivs. to give sildenafil analogs)

RN 374776-53-1 CAPLUS

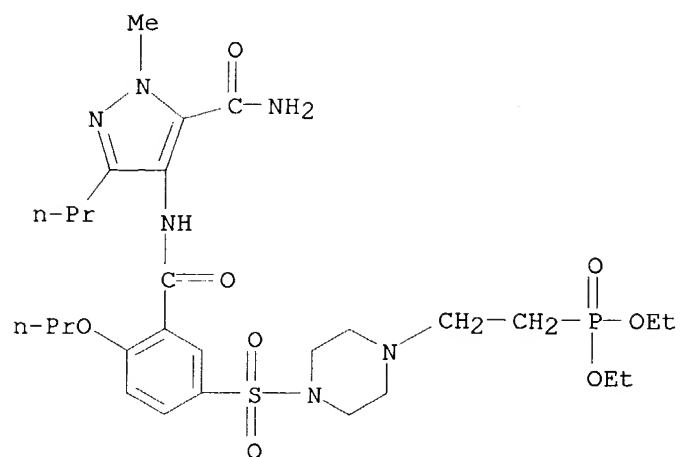
CN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 712349-25-2 CAPLUS

CN Phosphonic acid, [2-[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-1-piperazinyl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

10/808.027



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:964753 CAPLUS
DN 139:395941
TI Preparation of sildenafil
IN Pang, Yuhua; Wang, Ning; Wang, Xiaoyan; Qi, Shangzhong; Fu, Heliang
PA Tianpu Biochemical Pharmaceuticals Factory, Changzhou, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| PI | CN 1358722 | A | 20020717 | CN 2001-124175 | 20010821 |
| PRAI | CN 2001-124175 | | 20010821 | | |

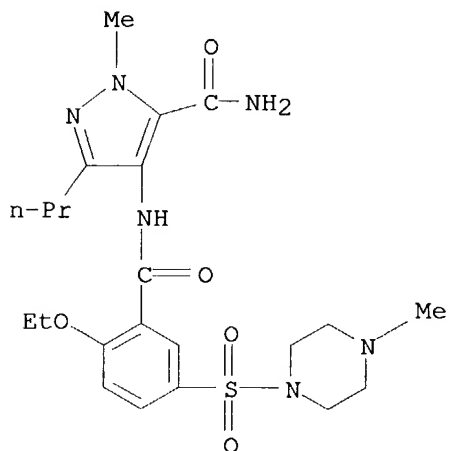
OS CASREACT 139:395941

IT **200575-15-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of sildenafil)

RN 200575-15-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:376548 CAPLUS
 DN 138:385450
 TI Preparation of perdeuterated pyrazolopyrimidinones, e.g, sildenafil, as
 inhibitors of thrombocyte adhesion and aggregation for the treatment of
 heart and cardiovascular diseases
 IN Alken, Rudolf-Giesbert
 PA Berolina Drug Development AB, Swed.; BDD Group Holding AG
 SO PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | WO 2003039439 | A2 | 20030515 | WO 2002-DE4216 | 20021107 |
| | WO 2003039439 | A3 | 20031016 | | |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |
| | CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, | | | | |
| | HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, | | | | |
| | LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, | | | | |
| | PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |
| | UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, | | | | |
| | RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, | | | | |
| | CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, | | | | |
| | PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, | | | | |
| | NE, SN, TD, TG | | | | |
| | DE 10155018 | A1 | 20030710 | DE 2001-10155018 | 20011107 |
| | EP 1444234 | A2 | 20040811 | EP 2002-779219 | 20021107 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| | IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| PRAI | DE 2001-10155018 | A | 20011107 | | |
| | WO 2002-DE4216 | W | 20021107 | | |

OS MARPAT 138:385450

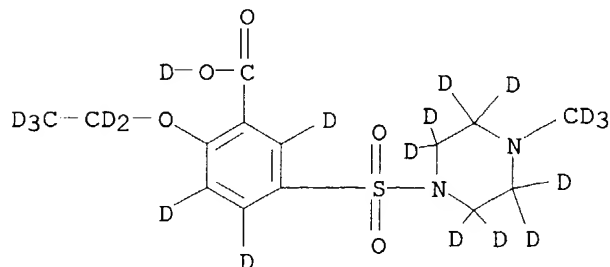
IT **526203-34-9P 526203-36-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of perdeuterated pyrazolopyrimidinones, e.g,
 sildenafil, as inhibitors of thrombocyte adhesion and aggregation for
 the treatment of heart and cardiovascular diseases)

RN 526203-34-9 CAPLUS

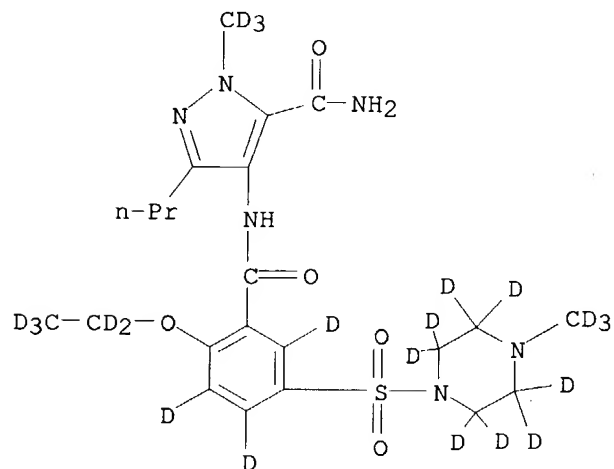
CN Benzoic-2,4,5-d3 acid-d, 6-(ethoxy-d5)-3-[[4-(methyl-d3)-1-piperazinyl-
 2,2,3,3,5,5,6,6-d8]sulfonyl]- (9CI) (CA INDEX NAME)



10/808.027

RN 526203-36-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[6-(ethoxy-d5)-3-[[4-(methyl-d3)-1-piperazinyl-2,2,3,3,5,5,6,6-d8]sulfonyl]benzoyl-2,4,5-d3]amino]-1-(methyl-d3)-3-propyl- (9CI) (CA INDEX NAME)

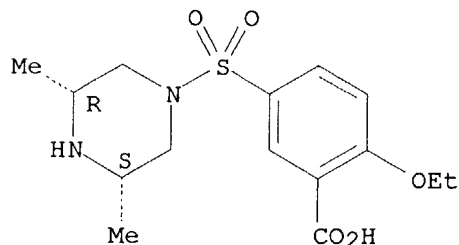


L5 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:154433 CAPLUS
 DN 138:153550
 TI Preparation of pyrazolopyrimidine derivatives for treatment of impotence
 IN Liu, Baoshun
 PA Peop. Rep. China
 SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2

DT Patent
 LA Chinese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2003016313 | A1 | 20030227 | WO 2002-CN433 | 20020621 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CN 1393444 | A | 20030129 | CN 2002-100198 | 20020118 |
| | CN 1127506 | B | 20031112 | | |
| | EP 1400522 | A1 | 20040324 | EP 2002-754139 | 20020621 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | HK 1053108 | A1 | 20040402 | HK 2003-105310 | 20030723 |
| | US 2004152709 | A1 | 20040805 | US 2003-736732 | 20031216 |
| PRAI | CN 2001-129691 | A | 20010629 | | |
| | CN 2002-100198 | A | 20020118 | | |
| | WO 2002-CN433 | W | 20020621 | | |
| OS | CASREACT 138:153550; MARPAT 138:153550 | | | | |
| IT | 496835-32-6P 496835-34-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrazolopyrimidine derivs. for treatment of impotence) | | | | |
| RN | 496835-32-6 CAPLUS | | | | |
| CN | Benzoic acid, 5-[[[(3R,5S)-3,5-dimethyl-1-piperazinyl]sulfonyl]-2-ethoxy-, rel- (9CI) (CA INDEX NAME) | | | | |

Relative stereochemistry.

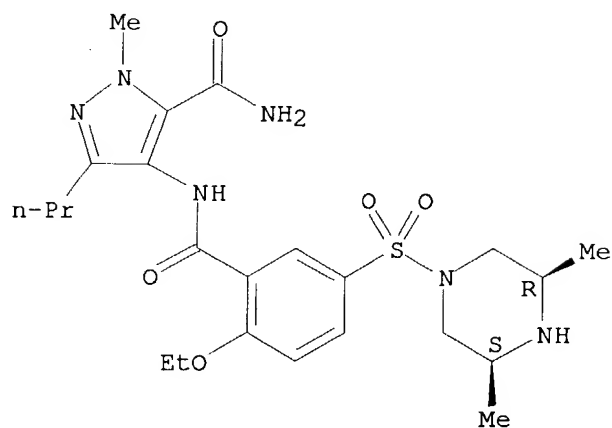


RN 496835-34-8 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 4-[[[5-[[[(3R,5S)-3,5-dimethyl-1-piperazinyl]sulfonyl]-2-ethoxybenzoyl]amino]-1-methyl-3-propyl-, rel-

10/808.027

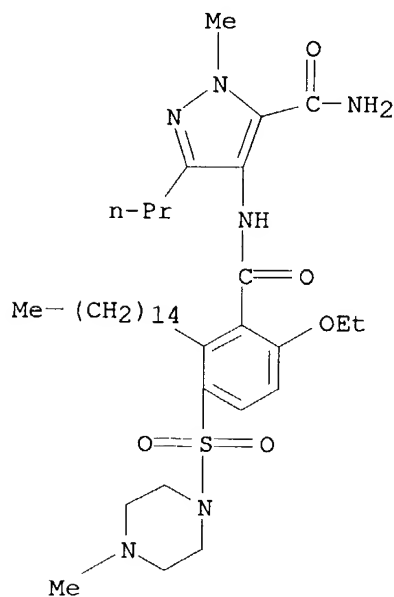
(9CI) (CA INDEX NAME)

Relative stereochemistry.

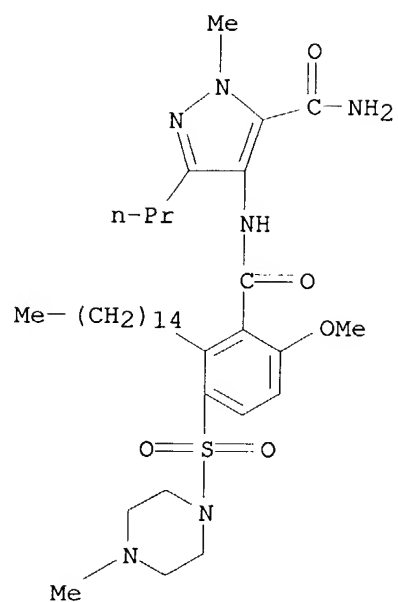


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:877396 CAPLUS
 DN 138:82919
 TI Synthesis of Sildenafil Analogues from Anacardic Acid and Their
 Phosphodiesterase-5 Inhibition
 AU Paramashivappa, R.; Kumar, P. Phani; Rao, P. V. Subba; Rao, A. Srinivasa
 CS Vittal Malliya Scientific Research Foundation, Bangalore, 560 004, India
 SO Journal of Agricultural and Food Chemistry (2002), 50(26), 7709-7713
 CODEN: JAFCAU; ISSN: 0021-8561
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 138:82919
 IT **485386-88-7P 485387-01-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (synthesis of sildenafil analogs from anacardic acid and their
 phosphodiesterase-5 inhibition)
 RN 485386-88-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 4-[[6-ethoxy-3-[(4-methyl-1-
 piperazinyl)sulfonyl]-2-pentadecylbenzoyl]amino]-1-methyl-3-propyl- (9CI)
 (CA INDEX NAME)



RN 485387-01-7 CAPLUS
 CN 1H-Pyrazole-5-carboxamide, 4-[[6-methoxy-3-[(4-methyl-1-
 piperazinyl)sulfonyl]-2-pentadecylbenzoyl]amino]-1-methyl-3-propyl- (9CI)
 (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:717099 CAPLUS

DN 137:232668

TI Preparation of pyrazolopyridopyrimidines as cGMP phosphodiesterase inhibitors

IN Macor, John E.; Bi, Yingzhi

PA Bristol-Myers Squibb Co., USA

SO U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| PI | US 2002133008 | A1 | 20020919 | US 2001-809946 | 20010316 |
| | US 6642244 | B2 | 20031104 | | |
| PRAI | US 2001-809946 | | 20010316 | | |

OS MARPAT 137:232668

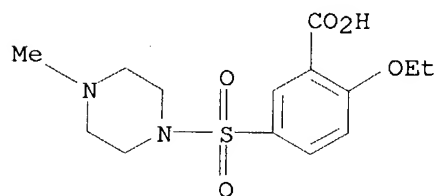
IT **194602-23-8 215299-79-9**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazolopyridopyrimidines as cGMP phosphodiesterase inhibitors)

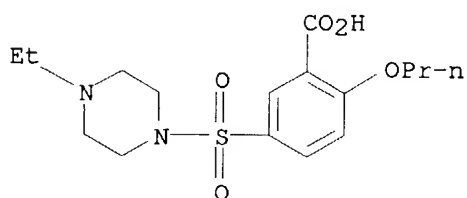
RN 194602-23-8 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



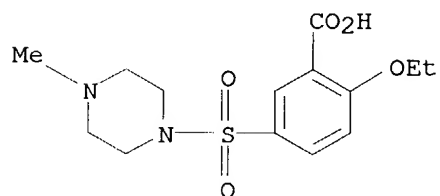
RN 215299-79-9 CAPLUS

CN Benzoic acid, 5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxy- (9CI) (CA INDEX NAME)



10/808.027

L5 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:641077 CAPLUS
DN 138:280726
TI 8-Arylxanthines potent inhibitors of phosphodiesterase 5
AU Arnold, Ruth; Beer, David; Bhalay, Gurdip; Baettig, Urs; Collingwood, Stephen P.; Craig, Sarah; Devereux, Nicholas; Dunstan, Andrew; Glen, Angela; Gomez, Sylvie; Haberthuer, Sandra; Howe, Trevor; Jelfs, Stephen; Moser, Heinz; Naef, Reto; Nicklin, Paul; Sandham, David; Stringer, Rowan; Turner, Katharine; Watson, Simon; Zurini, Mauro
CS Novartis Horsham Research Centre, Horsham, RH12 5AB, UK
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(18), 2587-2590
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:280726
IT **194602-23-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(8-arylxanthines as potent inhibitors of phosphodiesterase 5)
RN 194602-23-8 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:157776 CAPLUS
DN 136:210608
TI Preparation of polyethoxylated pyrazolo-pyrimidinone derivatives and their
pharmaceutical compositions for the treatment of impotence
IN Chung, Bong-Youl; Lee, In-Sang; Park, Bong-Jun; Kim, Young-Keun; Kim,
Sung-Ji; Yoon, Seung-Hyun
PA LG Chem Investment Ltd., S. Korea
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2002016364 | A1 | 20020228 | WO 2000-KR951 | 20000823 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2000067375 | A5 | 20020304 | AU 2000-67375 | 20000823 |
| PRAI | WO 2000-KR951 | A | 20000823 | | |

OS MARPAT 136:210608

IT **401796-24-5P 401796-27-8P 401796-31-4P**
401796-32-5P 401796-33-6P 401796-34-7P
401796-35-8P 401796-36-9P

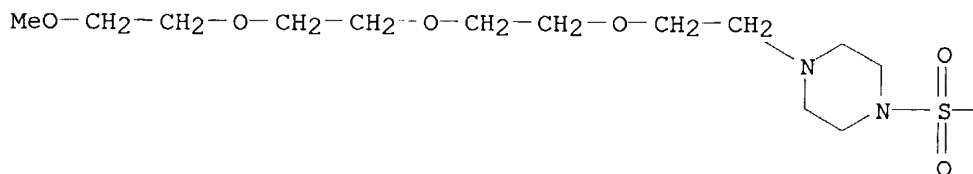
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of polyethoxylated pyrazolo-pyrimidinone derivs. and their
pharmaceutical compns. for the treatment of impotence and
cardiovascular disorders)

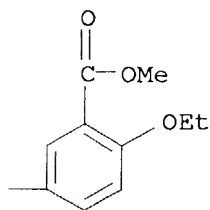
RN 401796-24-5 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[[4-(3,6,9,12-tetraoxatridec-1-yl)-1-
piperazinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



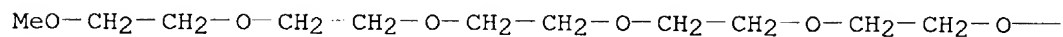
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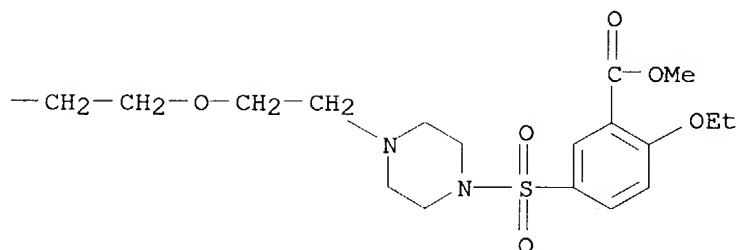
RN 401796-27-8 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[[4-(3,6,9,12,15,18,21-heptaodocose-1-yl)-1-piperazinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



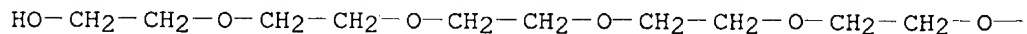
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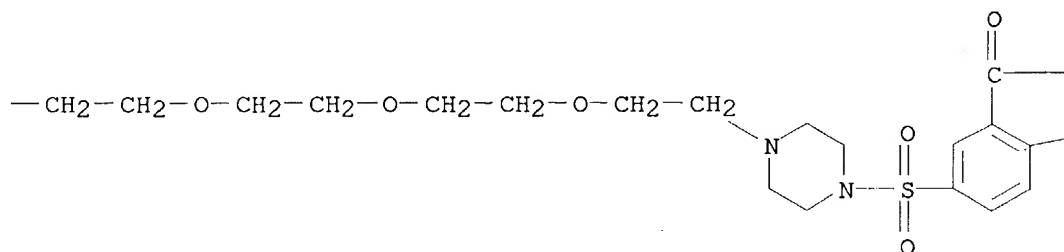
RN 401796-31-4 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[[4-(26-hydroxy-3,6,9,12,15,18,21,24-octaohexacos-1-yl)-1-piperazinyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



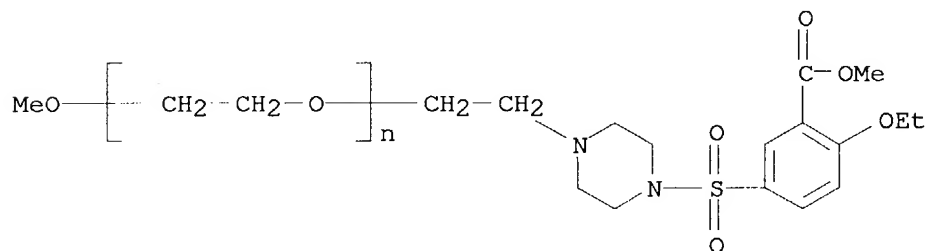
PAGE 1-C

--- OMe

--- OEt

RN 401796-32-5 CAPLUS

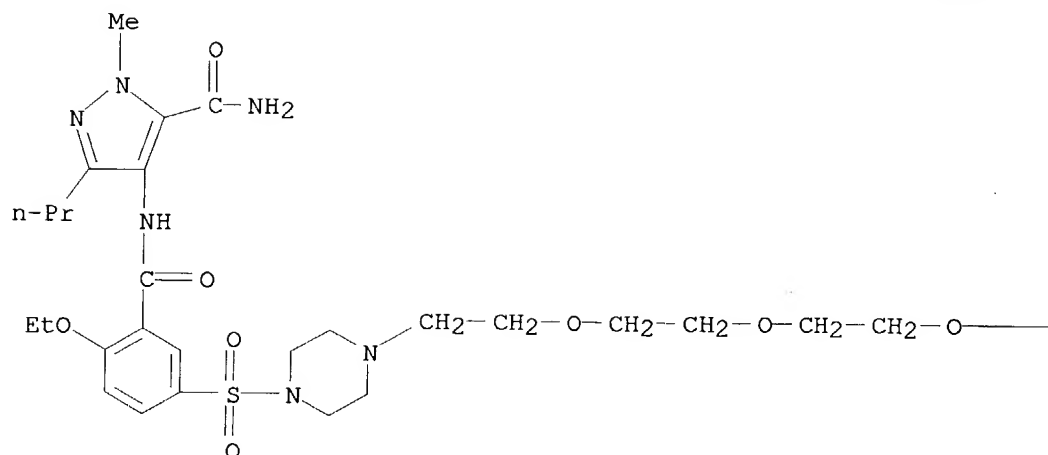
CN Poly(oxy-1,2-ethanediyl), α -[2-[4-[[4-ethoxy-3-(methoxycarbonyl)phenyl]sulfonyl]-1-piperazinyl]ethyl]- ω -methoxy-
(9CI) (CA INDEX NAME)



RN 401796-33-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[[4-(3,6,9,12-tetraoxatridec-1-yl)-1-piperazinyl]sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

PAGE 1-A



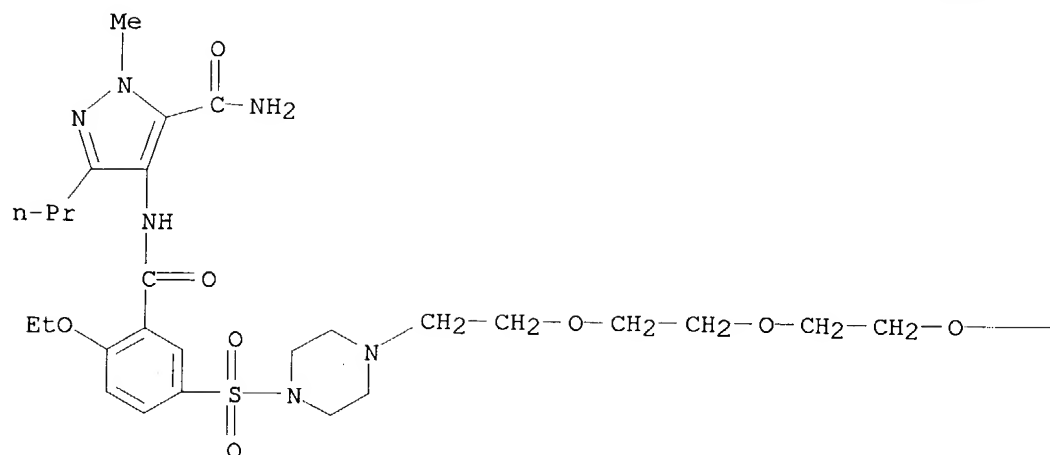
PAGE 1-B

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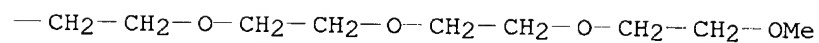
RN 401796-34-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[[4-(3,6,9,12,15,18,21-hepta-oxadocos-1-yl)-1-piperazinyl]sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

PAGE 1-A



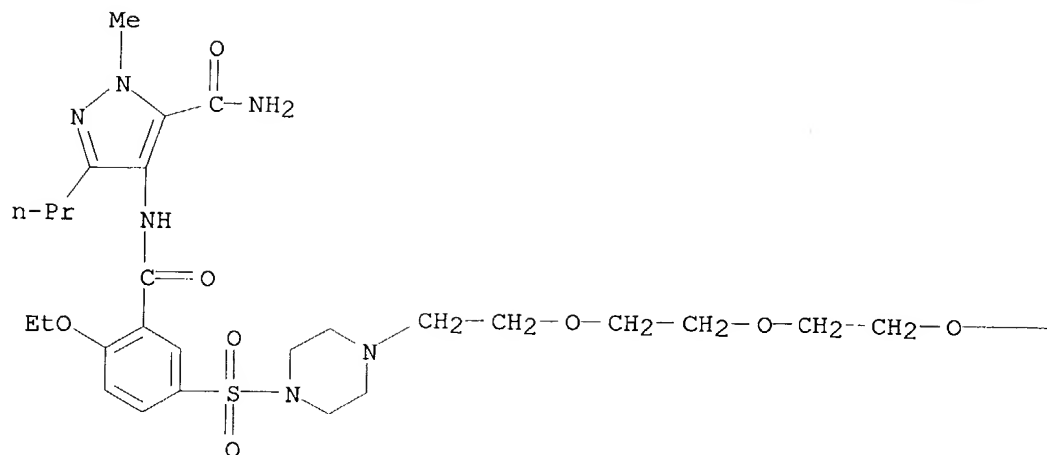
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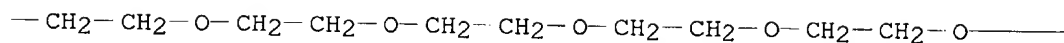
RN 401796-35-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[[4-(26-hydroxy-3,6,9,12,15,18,21,24-octaoxahehexacos-1-yl)-1-piperazinyl]sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

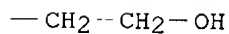
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PAGE 1-B

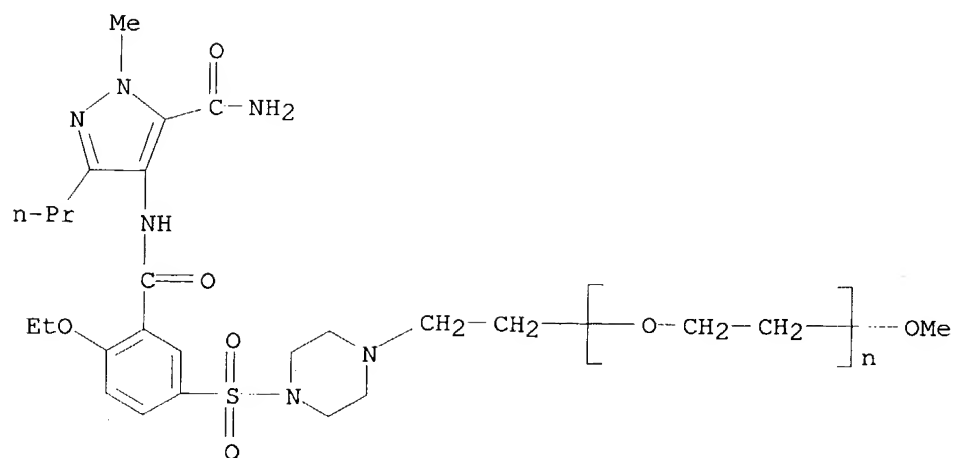


PAGE 1-C



RN 401796-36-9 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α-[2-[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-1-piperazinyl]ethyl]-ω-methoxy- (9CI) (CA INDEX NAME)



RE.CNT 6

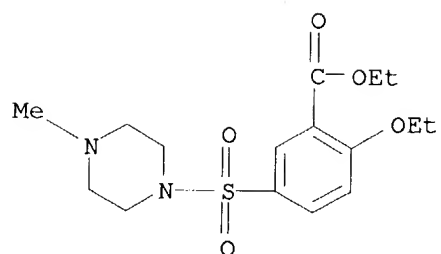
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:935606 CAPLUS
DN 136:53761
TI Novel process for the preparation of pyrazolopyrimidinones
IN Bunnage, Mark Edward; Levett, Philip Charles; Thomson, Nicholas Murray
PA Pfizer Limited, UK; Pfizer Inc.
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2001098303 | A1 | 20011227 | WO 2001-IB1038 | 20010607 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001062592 | A5 | 20020102 | AU 2001-62592 | 20010607 |
| | EP 1296983 | A1 | 20030402 | EP 2001-936729 | 20010607 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001011864 | A | 20030701 | BR 2001-11864 | 20010607 |
| | JP 2004501154 | T2 | 20040115 | JP 2002-504259 | 20010607 |
| | US 2002013465 | A1 | 20020131 | US 2001-886643 | 20010621 |
| | US 6730786 | B2 | 20040504 | | |
| | ZA 2002010276 | A | 20031219 | ZA 2002-10276 | 20021219 |
| | US 2004110948 | A1 | 20040610 | US 2003-724805 | 20031201 |
| PRAI | GB 2000-15462 | A | 20000622 | | |
| | GB 2001-5878 | A | 20010309 | | |
| | US 2000-217794P | P | 20000712 | | |
| | US 2001-291026P | P | 20010516 | | |
| | WO 2001-IB1038 | W | 20010607 | | |
| | US 2001-886643 | A3 | 20010621 | | |
| OS | CASREACT 136:53761; MARPAT 136:53761 | | | | |
| IT | 304435-84-5P | | | | |
| | RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (novel process for the preparation of pyrazolopyrimidinones) | | | | |
| RN | 304435-84-5 CAPLUS | | | | |
| CN | Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME) | | | | |

10/808.027



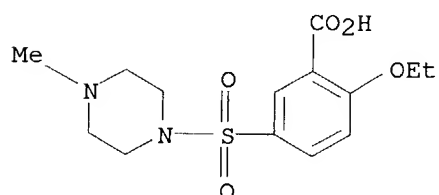
IT 194602-23-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(novel process for the preparation of pyrazolopyrimidinones)

RN 194602-23-8 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:935589 CAPLUS
DN 136:69817

TI Process for the preparation of pyrazolopyrimidinones (e.g. Sildenafil) by
cyclocondensation of benzimidates with aminopyrazolecarboxamides.

IN Dunn, Peter James; Dunne, Catherine

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 68 pp.

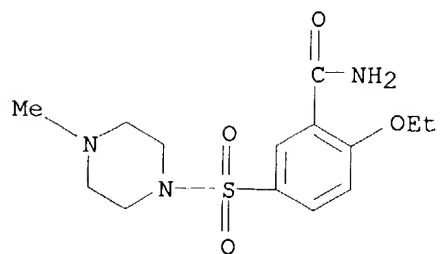
CODEN: PIXXD2

DT Patent

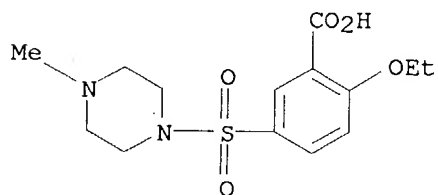
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2001098284 | A1 | 20011227 | WO 2001-IB1050 | 20010611 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1292586 | A1 | 20030319 | EP 2001-936737 | 20010611 |
| | EP 1292586 | B1 | 20040804 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001011581 | A | 20030325 | BR 2001-11581 | 20010611 |
| | JP 2004501142 | T2 | 20040115 | JP 2002-504240 | 20010611 |
| | AT 272626 | E | 20040815 | AT 2001-936737 | 20010611 |
| | US 2002013464 | A1 | 20020131 | US 2001-886269 | 20010621 |
| | US 6667398 | B2 | 20031223 | | |
| | ZA 2002010274 | A | 20031219 | ZA 2002-10274 | 20021219 |
| | US 2004106796 | A1 | 20040603 | US 2003-723659 | 20031125 |
| PRAI | GB 2000-15472 | A | 20000622 | | |
| | GB 2001-5857 | A | 20010309 | | |
| | US 2000-217769P | P | 20000712 | | |
| | US 2001-291100P | P | 20010516 | | |
| | WO 2001-IB1050 | W | 20010611 | | |
| | US 2001-886269 | A3 | 20010621 | | |
| OS | CASREACT 136:69817; MARPAT 136:69817 | | | | |
| IT | 383427-87-0P | | | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (intermediate; process for preparation of pyrazolopyrimidinones (e.g. Sildenafil) by cyclocondensation of benzimidates with aminopyrazolecarboxamides) | | | | |
| RN | 383427-87-0 CAPLUS | | | | |
| CN | Benzamide, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME) | | | | |



IT **194602-23-8**, 2-Ethoxy-5-(4-methyl-1-piperazinylsulfonyl)benzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; process for preparation of pyrazolopyrimidinones (e.g. Sildenafil) by cyclocondensation of benzimidates with aminopyrazolecarboxamides)
 RN 194602-23-8 CAPLUS
 CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)

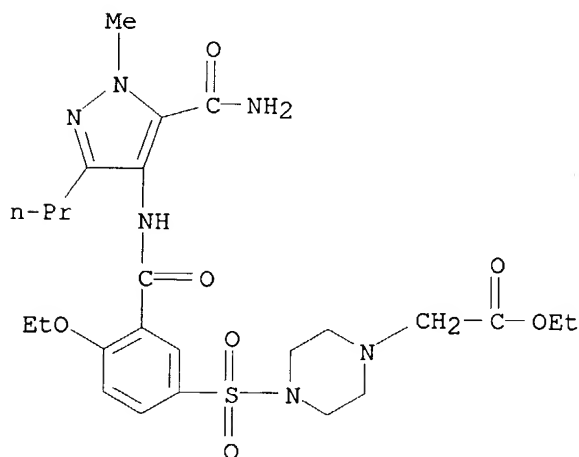


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:851163 CAPLUS
DN 136:6002
TI Preparation of pyrazolopyrimidinones as PDE V inhibitors
IN Kim, Dae-Kee; Lee, Ju Young; Lee, Nam Kyu; Ryu, Do Hyun; Kim, Jae-Sun;
Choi, Jin Young; Lee, Suk Ho; Im, Guang-Jin; Cha, Hoon; Kim, Tae Kon; Kim,
Key Hyup
PA SK Chemicals Co., Ltd., S. Korea
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

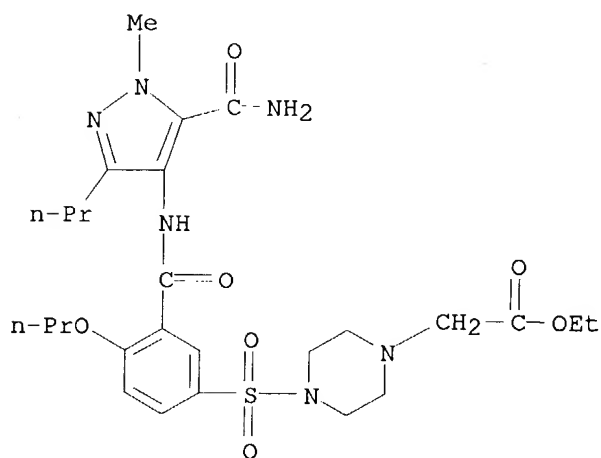
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2001087888 | A1 | 20011122 | WO 2000-KR480 | 20000517 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRAI | WO 2000-KR480 | | 20000517 | | |
| OS | MARPAT 136:6002 | | | | |
| IT | 374776-43-9P 374776-44-0P 374776-45-1P 374776-46-2P 374776-50-8P 374776-51-9P 374776-52-0P 374776-53-1P | | | | |
| RL: | RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrazolopyrimidinones as PDE V inhibitors) | | | | |
| RN | 374776-43-9 CAPLUS | | | | |
| CN | 1-Piperazineacetic acid, 4-[[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME) | | | | |



RN 374776-44-0 CAPLUS

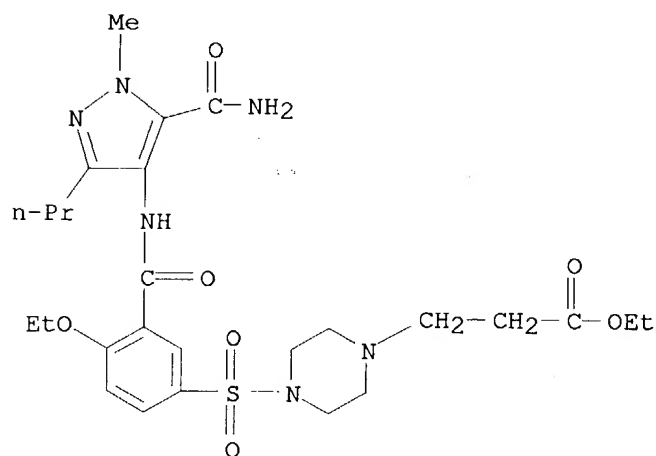
10/808.027

CN 1-Piperazineacetic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-, ethyl ester (9CI)
(CA INDEX NAME)



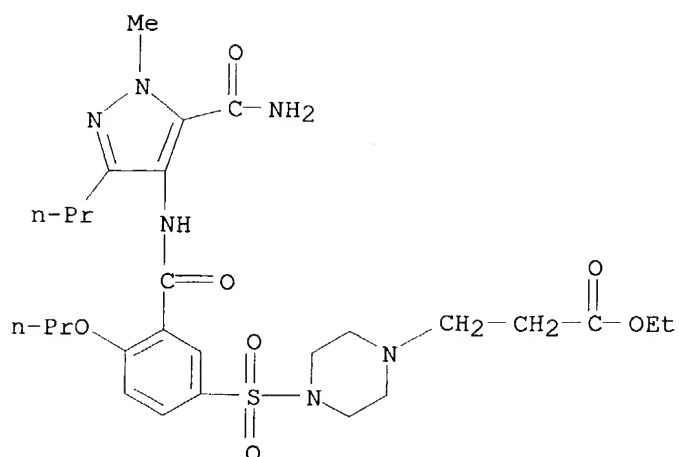
RN 374776-45-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



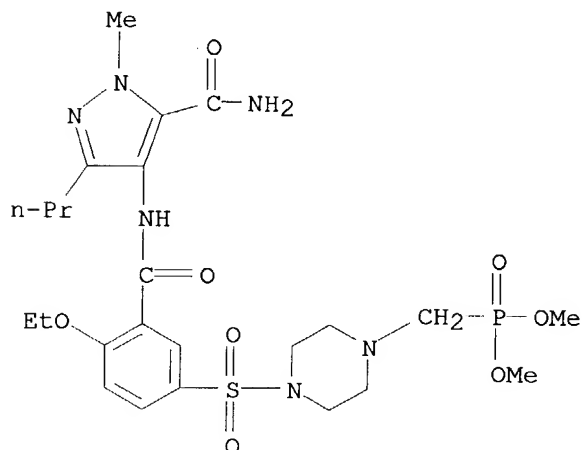
RN 374776-46-2 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



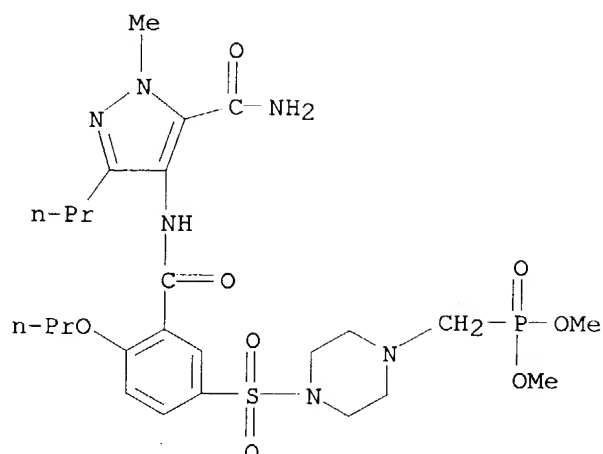
RN 374776-50-8 CAPLUS

CN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



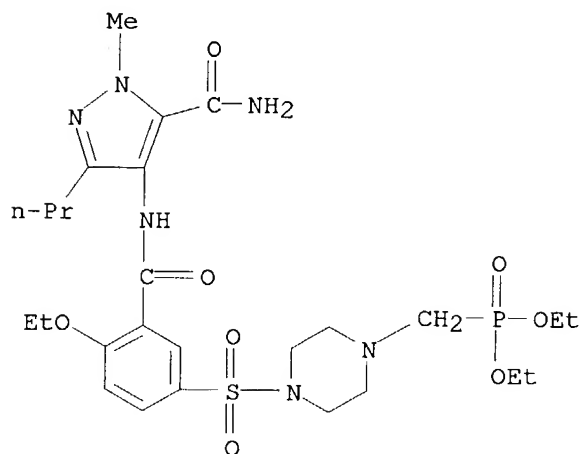
RN 374776-51-9 CAPLUS

CN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 374776-52-0 CAPLUS

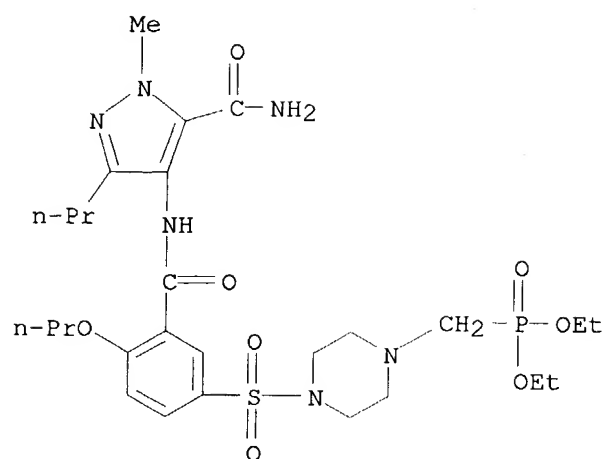
CN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 374776-53-1 CAPLUS

CN Phosphonic acid, [[4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-1-piperazinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

10/808.027



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:845790 CAPLUS

DN 136:183784

TI Synthesis and phosphodiesterase inhibitory activity of new sildenafil analogs containing a carboxylic acid group in the 5'-sulfonamide moiety of the phenyl ring

AU Kim, Dae-Kee; Lee, Ju Young; Lee, Namkyu; Ryu, Do Hyun; Kim, Jae-Sun; Lee, Sukho; Choi, Jin-Young; Ryu, Je-Ho; Kim, Nam-Ho; Im, Guang-Jin; Choi, Won-Son; Kim, Tae-Kon

CS Life Science Research Center, SK Chemicals, Suwon-Si, 440-745, S. Korea

SO Bioorganic & Medicinal Chemistry (2001), 9(11), 3013-3021

CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 136:183784

IT 374776-43-9P 374776-44-0P 374776-45-1P

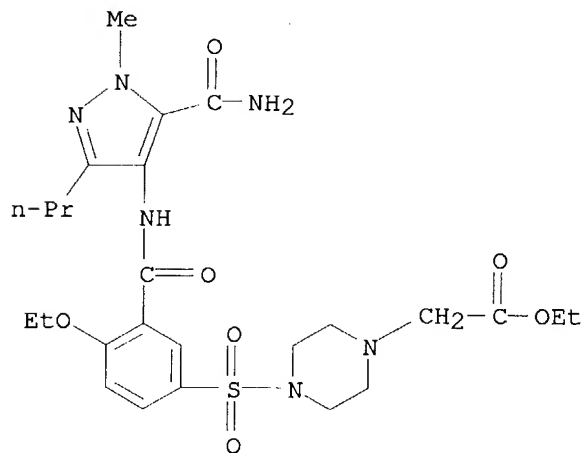
374776-46-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and phosphodiesterase inhibitory activity of sildenafil analogs containing a carboxylic acid group in 5'-sulfonamide moiety of Ph ring)

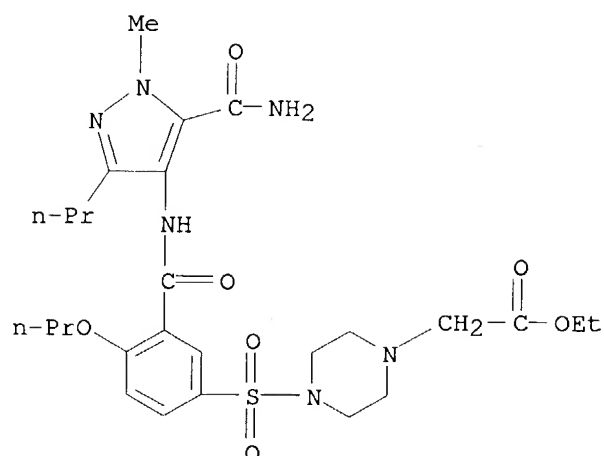
RN 374776-43-9 CAPLUS

CN 1-Piperazineacetic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



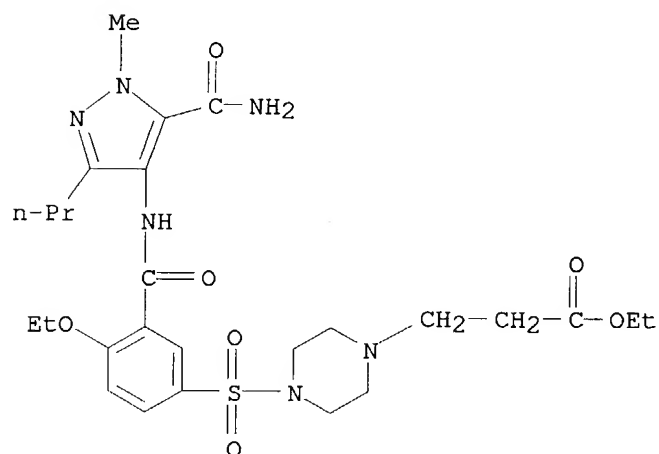
RN 374776-44-0 CAPLUS

CN 1-Piperazineacetic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 374776-45-1 CAPLUS

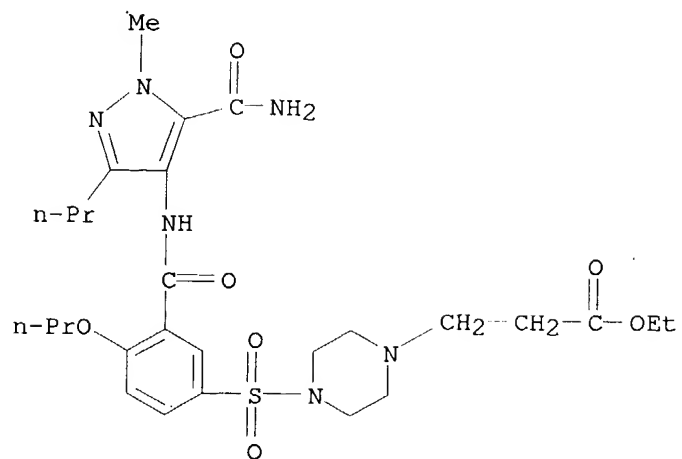
CN 1-Piperazinepropanoic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-ethoxyphenyl]sulfonyl]-, ethyl ester
(9CI) (CA INDEX NAME)



RN 374776-46-2 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[[3-[[[5-(aminocarbonyl)-1-methyl-3-propyl-1H-pyrazol-4-yl]amino]carbonyl]-4-propoxyphenyl]sulfonyl]-, ethyl ester
(9CI) (CA INDEX NAME)

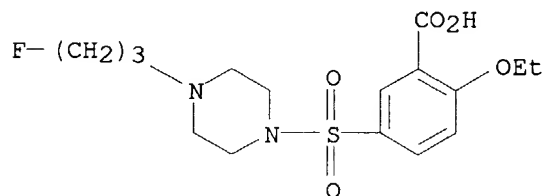
10/808.027



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:618004 CAPLUS
 DN 135:195571
 TI Preparation of pyrrolopyrimidinones as cGMP PDE V inhibitors
 IN Kim, Dae-Kee; Lee, Ju Young; Ryu, Do Hyun; Lee, Nam Kyu; Lee, Suk Ho; Kim, Nam-Ho; Kim, Jae-Sun; Ryu, Je Ho; Choi, Jin-Young; Im, Guang-Jin; Choi, Won-Son; Kim, Tae Kon; Cha, Hoon
 PA SK Chemicals Co., Ltd., S. Korea; In2Gen Co., Ltd.
 SO PCT Int. Appl., 190 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2001060825 | A1 | 20010823 | WO 2001-KR227 | 20010215 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1257553 | A1 | 20021120 | EP 2001-908397 | 20010215 |
| | EP 1257553 | B1 | 20040526 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | BR 2001008395 | A | 20030311 | BR 2001-8395 | 20010215 |
| | JP 2003523344 | T2 | 20030805 | JP 2001-560209 | 20010215 |
| | NZ 520842 | A | 20040430 | NZ 2001-520842 | 20010215 |
| | AT 267829 | E | 20040615 | AT 2001-908397 | 20010215 |
| | EP 1362858 | A1 | 20031119 | EP 2002-10869 | 20020515 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | NO 2002003823 | A | 20021009 | NO 2002-3823 | 20020813 |
| | US 2003171361 | A1 | 20030911 | US 2002-204327 | 20021202 |
| PRAI | KR 2000-7625 | A | 20000217 | | |
| | WO 2001-KR227 | W | 20010215 | | |
| OS | MARPAT 135:195571 | | | | |
| IT | 356045-69-7 | | | | |
| | RL: RCT (Reactant); RACT (Reactant or reagent) | | | | |
| | (preparation of pyrrolopyrimidinones as cGMP PDE V inhibitors) | | | | |
| RN | 356045-69-7 CAPLUS | | | | |
| CN | Benzoic acid, 2-ethoxy-5-[[4-(3-fluoropropyl)-1-piperazinyl]sulfonyl]- (9CI) (CA INDEX NAME) | | | | |



IT 356044-99-0P 356045-00-6P 356045-01-7P

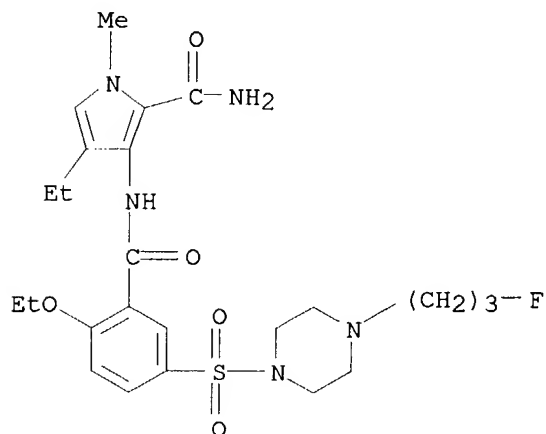
10/808.027

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyrimidinones as cGMP PDE V inhibitors)

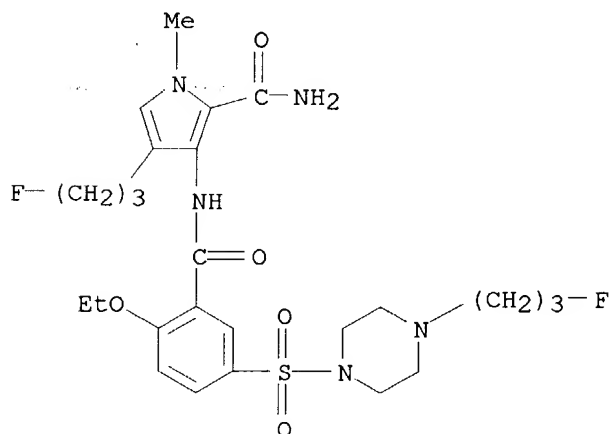
RN 356044-99-0 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 3-[[2-ethoxy-5-[[4-(3-fluoropropyl)-1-piperazinyl]sulfonyl]benzoyl]amino]-4-ethyl-1-methyl- (9CI) (CA INDEX NAME)



RN 356045-00-6 CAPLUS

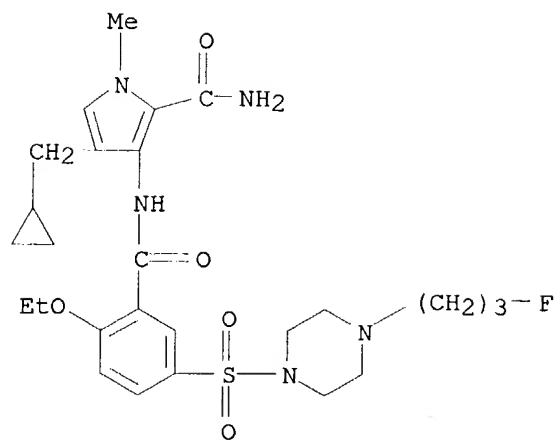
CN 1H-Pyrrole-2-carboxamide, 3-[[2-ethoxy-5-[[4-(3-fluoropropyl)-1-piperazinyl]sulfonyl]benzoyl]amino]-4-(3-fluoropropyl)-1-methyl- (9CI) (CA INDEX NAME)



RN 356045-01-7 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-(cyclopropylmethyl)-3-[[2-ethoxy-5-[[4-(3-fluoropropyl)-1-piperazinyl]sulfonyl]benzoyl]amino]-1-methyl- (9CI) (CA INDEX NAME)

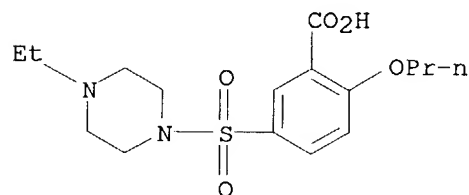
10/808.027



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:818584 CAPLUS
DN 134:115917
TI Optimization of Substituted N-3-Benzylimidazoquinazolinone Sulfonamides as
Potent and Selective PDE5 Inhibitors
AU Rotella, David P.; Sun, Zhong; Zhu, Yeheng; Krupinski, John; Pongrac,
Ronald; Seliger, Laurie; Normandin, Diane; Macor, John E.
CS Departments of Discovery Chemistry and Metabolic and Cardiovascular Drug
Discovery, Bristol-Myers Squibb Pharmaceutical Research Institute,
Princeton, NJ, 08543-5400, USA
SO Journal of Medicinal Chemistry (2000), 43(26), 5037-5043
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:115917
IT **215299-79-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of substituted N-3-benzylimidazoquinazolinone sulfonamides as
potent and selective PDE5 inhibitors)
RN 215299-79-9 CAPLUS
CN Benzoic acid, 5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxy- (9CI) (CA
INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:758131 CAPLUS

DN 133:281797

TI Synthesis of sildenafil

IN Fu, Heliang; Wang, Xiaoyan; Pang, Baohua; Wang, Ning; Ji, Shangzhong

PA Tianpu Biochemical Pharmaceutical Co., Ltd., Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| PI | CN 1246478 | A | 20000308 | CN 1999-109552 | 19990712 |
| | CN 1092660 | B | 20021016 | | |
| PRAI | CN 1999-109552 | | 19990712 | | |

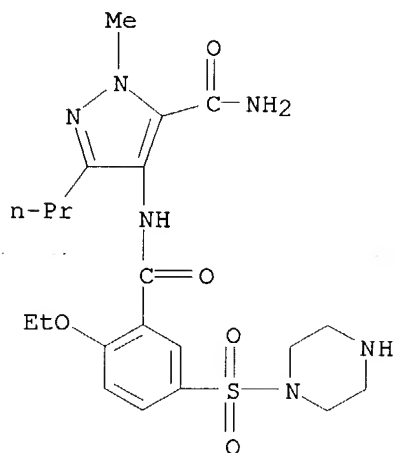
OS CASREACT 133:281797

IT **300547-20-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(Preparation of sildenafil)

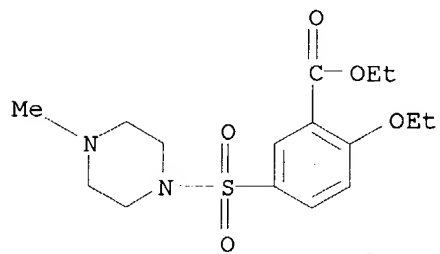
RN 300547-20-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-(1-piperazinylsulfonyl)benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

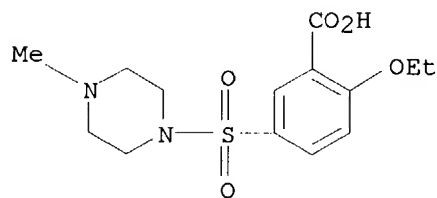


10/808.027

L5 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:595512 CAPLUS
DN 133:335213
TI Polymer-supported reagents for multi-step organic synthesis: application to the synthesis of sildenafil
AU Baxendale, I. R.; Ley, S. V.
CS Department of Chemistry, University of Cambridge, Cambridge, CB2 1EW, UK
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1983-1986
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:335213
IT **304435-84-5P**
RL: BYP (Byproduct); PREP (Preparation)
(polymer-supported reagents for the synthesis of sildenafil)
RN 304435-84-5 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

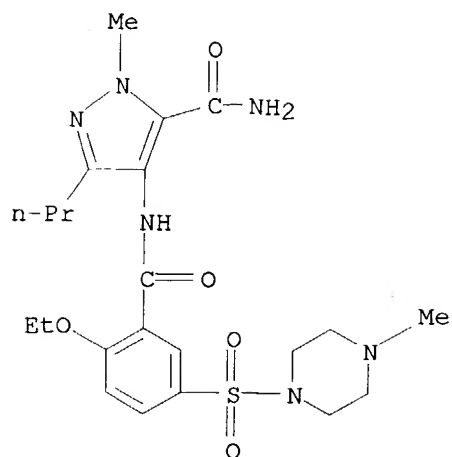


IT **194602-23-8P 200575-15-1P 304435-85-6DP,**
polymer-supported
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(polymer-supported reagents for the synthesis of sildenafil)
RN 194602-23-8 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



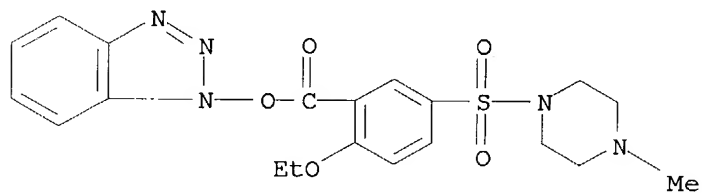
RN 200575-15-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

10/808.027



RN 304435-85-6 CAPLUS

CN Piperazine, 1-[[3-[(1H-benzotriazol-1-yloxy)carbonyl]-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

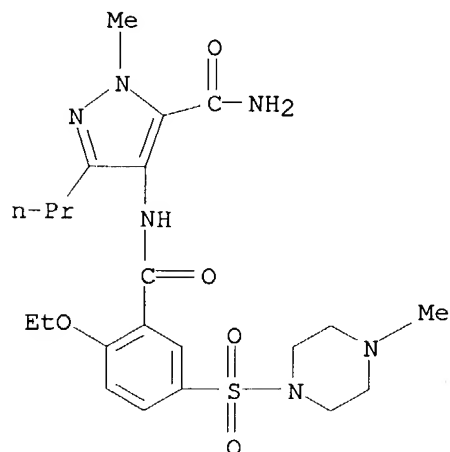


RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:351203 CAPLUS
DN 132:347588
TI An improved process for preparing a therapeutically active
pyrazolopyrimidinone derivative (sildenafil)
IN Chaudhari, Deoram Totaram; Deshpande, Pandurang Balwantrao; Khan, Rashid
Abdul Rehman
PA Orchid Chemicals & Pharmaceuticals Ltd., India
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

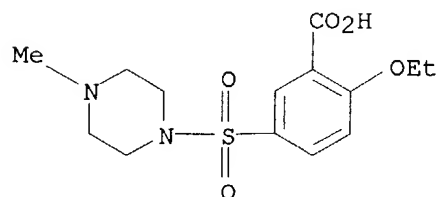
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 1002798 | A1 | 20000524 | EP 1998-122031 | 19981120 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRAI | EP 1998-122031 | | 19981120 | | |
| OS | CASREACT 132:347588 | | | | |
| IT | 200575-15-1P | | | | |
| | RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (improved process for preparing a therapeutically active pyrazolopyrimidinone derivative (sildenafil)) | | | | |
| RN | 200575-15-1 CAPLUS | | | | |
| CN | 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[(4-methyl-1- piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME) | | | | |



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:291043 CAPLUS
 DN 132:308353
 TI Preparation of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors
 IN Bunnage, Mark Edward; Maw, Graham Nigel; Rawson, David James; Wood, Anthony; Mathias, John Paul; Street, Stephen Derek Albert
 PA Pfizer Limited, UK; Pfizer Inc.
 SO PCT Int. Appl., 197 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2000024745 | A1 | 20000504 | WO 1999-IB1706 | 19991019 |
| | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9959956 | A1 | 20000515 | AU 1999-59956 | 19991019 |
| | BR 9915532 | A | 20010814 | BR 1999-15532 | 19991019 |
| | EP 1123296 | A1 | 20010816 | EP 1999-970992 | 19991019 |
| | EP 1123296 | B1 | 20030917 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | JP 2002528456 | T2 | 20020903 | JP 2000-578315 | 19991019 |
| | AT 250063 | E | 20031015 | AT 1999-970992 | 19991019 |
| | PT 1123296 | T | 20031231 | PT 1999-970992 | 19991019 |
| | ES 2205945 | T3 | 20040501 | ES 1999-970992 | 19991019 |
| | US 6333330 | B1 | 20011225 | US 1999-426554 | 19991022 |
| PRAI | GB 1998-23101 | A | 19981023 | | |
| | GB 1998-23102 | A | 19981023 | | |
| | WO 1999-IB1706 | W | 19991019 | | |
| OS | MARPAT 132:308353 | | | | |
| IT | 194602-23-8 | | | | |
| | RL: RCT (Reactant); RACT (Reactant or reagent) | | | | |
| | (preparation of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors) | | | | |
| RN | 194602-23-8 CAPLUS | | | | |
| CN | Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME) | | | | |



IT **265663-85-2P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

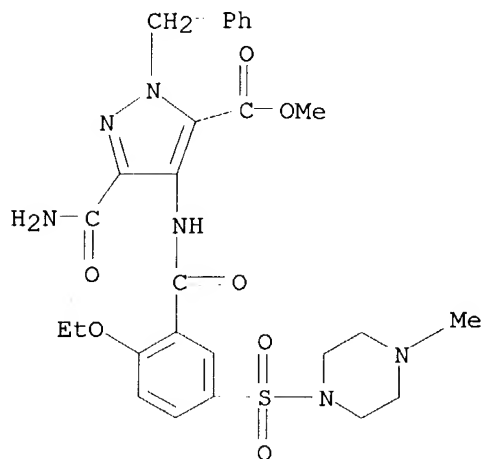
10/808.027

(Reactant or reagent)

(preparation of pyrazolopyrimidinones as cGMP phosphodiesterase inhibitors)

RN 265663-85-2 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 3-(aminocarbonyl)-4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-(phenylmethyl)-, methyl ester
(9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:277701 CAPLUS
DN 132:293775
TI Preparation of pyrazolopyrimidinones as cGMP PDE5 inhibitors for the
treatment of sexual dysfunction
IN Bunnage, Mark Edward; Street, Stephen Derek Albert; Mathias, John Paul;
Wood, Anthony
PA Pfizer Inc., USA; Pfizer Limited
SO Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | EP 995751 | A2 | 20000426 | EP 1999-308158 | 19991015 |
| | EP 995751 | A3 | 20001018 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | BR 9905109 | A | 20000926 | BR 1999-5109 | 19991022 |
| | US 6407114 | B1 | 20020618 | US 1999-425095 | 19991022 |
| | JP 2000128884 | A2 | 20000509 | JP 1999-302064 | 19991025 |
| | MX 9909816 | A | 20000630 | MX 1999-9816 | 19991025 |
| PRAI | GB 1998-23103 | A | 19981023 | | |

OS MARPAT 132:293775

IT **264920-20-9P 264920-22-1P 264920-23-2P**

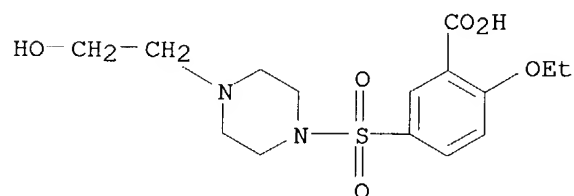
264920-24-3P 264920-25-4P 264920-26-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of pyrazolopyrimidinones as cGMP PDE5 inhibitors for the
treatment of sexual dysfunction)

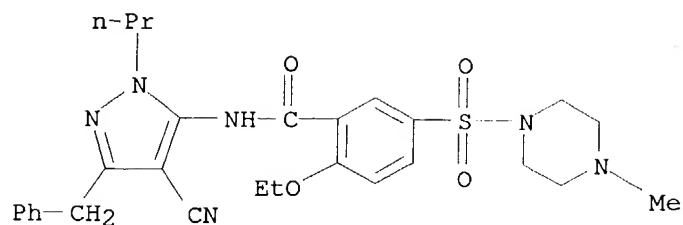
RN 264920-20-9 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[[4-(2-hydroxyethyl)-1-piperazinyl]sulfonyl]-
(9CI) (CA INDEX NAME)

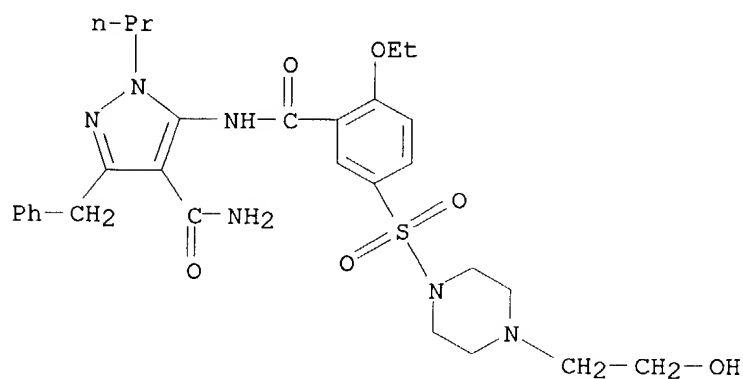


RN 264920-22-1 CAPLUS

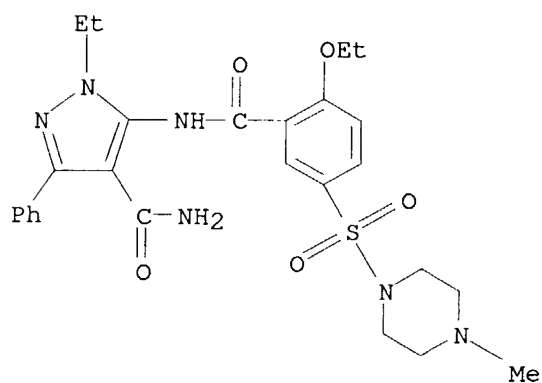
CN Benzamide, N-[4-cyano-3-(phenylmethyl)-1-propyl-1H-pyrazol-5-yl]-2-ethoxy-
5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 264920-23-2 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, 5-[[2-ethoxy-5-[[4-(2-hydroxyethyl)-1-piperazinyl]sulfonyl]benzoyl]amino]-3-(phenylmethyl)-1-propyl- (9CI) (CA INDEX NAME)

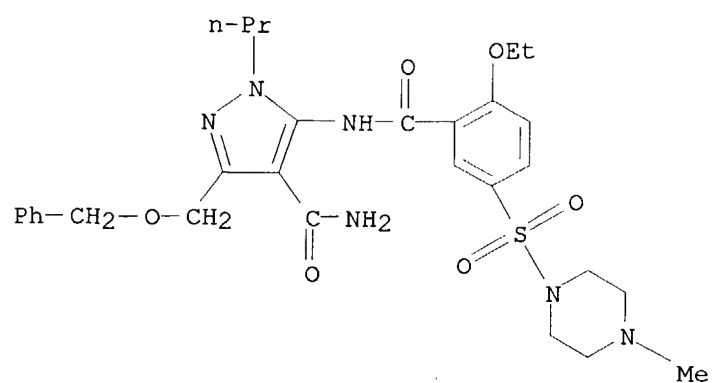


RN 264920-24-3 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, 5-[[2-ethoxy-5-[[4-methyl-1-piperazinyl]sulfonyl]benzoyl]amino]-1-ethyl-3-phenyl- (9CI) (CA INDEX NAME)

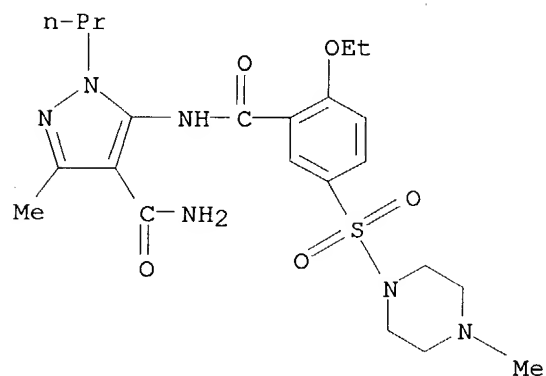


RN 264920-25-4 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, 5-[[2-ethoxy-5-[[4-methyl-1-piperazinyl]sulfonyl]benzoyl]amino]-3-[(phenylmethoxy)methyl]-1-propyl- (9CI) (CA INDEX NAME)

10/808.027



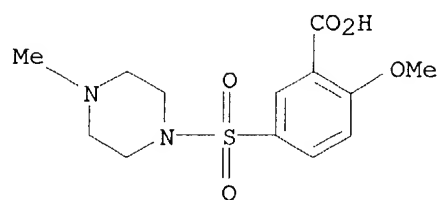
RN 264920-26-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 5-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-3-methyl-1-propyl- (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:259773 CAPLUS
 DN 132:279229
 TI Preparation of pyrazolo[4,3-d]pyrimidin-7-ones
 IN Dunn, Peter James; Levett, Philip Charles
 PA Pfizer Limited, UK; Pfizer Research and Development Company, N.V./S.A.
 SO Eur. Pat. Appl., 20 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

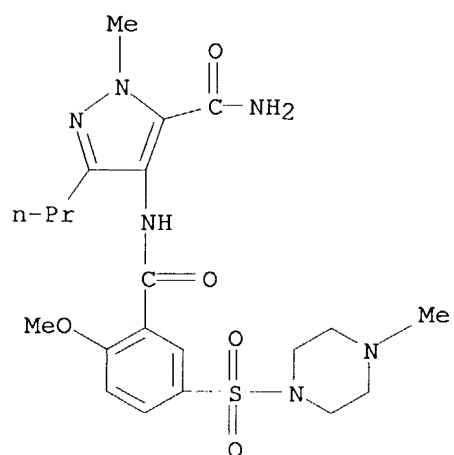
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|--------------------|----------|
| PI | EP 994115 | A2 | 20000419 | EP 1999-307996 | 19991011 |
| | EP 994115 | A3 | 20000524 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | IL 152923 | A1 | 20040208 | IL 1999-152923 | 19991007 |
| | IL 152924 | A1 | 20040219 | IL 1999-152924 | 19991007 |
| | IL 152926 | A1 | 20040219 | IL 1999-152926 | 19991007 |
| | US 6207829 | B1 | 20010327 | US 1999-415440 | 19991008 |
| | CN 1255497 | A | 20000607 | CN 1999-123918 | 19991009 |
| | CN 1473831 | A | 20040211 | CN 2003-2003142738 | 19991009 |
| | AU 9953581 | A1 | 20000413 | AU 1999-53581 | 19991011 |
| | AU 756463 | B2 | 20030116 | | |
| | NO 9904943 | A | 20000413 | NO 1999-4943 | 19991011 |
| | MX 9909326 | A | 20000430 | MX 1999-9326 | 19991011 |
| | KR 2000028987 | A | 20000525 | KR 1999-43836 | 19991011 |
| | BR 9905092 | A | 20000808 | BR 1999-5092 | 19991011 |
| | ZA 9906412 | A | 20010411 | ZA 1999-6412 | 19991011 |
| | BG 63205 | B1 | 20010629 | BG 1999-103794 | 19991011 |
| | EG 22630 | A | 20030531 | EG 1999-1269 | 19991011 |
| | JP 2000119273 | A2 | 20000425 | JP 1999-290099 | 19991012 |
| | EE 9900507 | A | 20000615 | EE 1999-507 | 19991012 |
| | EE 4192 | B1 | 20031215 | | |
| | HR 990318 | A1 | 20000630 | HR 1999-990318 | 19991012 |
| | HR 990318 | B1 | 20040630 | | |
| | TR 9902541 | A2 | 20000721 | TR 1999-9902541 | 19991012 |
| | US 2001009962 | A1 | 20010726 | US 2001-761376 | 20010116 |
| | US 2003069422 | A1 | 20030410 | US 2002-253029 | 20020923 |
| PRAI | GB 1998-22238 | A | 19981012 | | |
| | IL 1999-132273 | A3 | 19991007 | | |
| | US 1999-415440 | A3 | 19991008 | | |
| | US 2001-761376 | B1 | 20010116 | | |
| OS | CASREACT 132:279229; MAREPAT 132:279229 | | | | |
| IT | 263897-16-1P 263897-17-2P | | | | |
| | RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrazolo[4,3-d]pyrimidin-7-ones) | | | | |
| RN | 263897-16-1 CAPLUS | | | | |
| CN | Benzoic acid, 2-methoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME) | | | | |

10/808.027



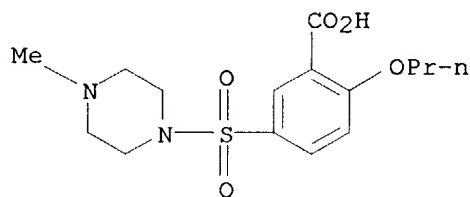
RN 263897-17-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 4-[[2-methoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



10/808.027

L5 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:176119 CAPLUS
DN 132:342802
TI N-3-Substituted Imidazoquinazolinones: Potent and Selective PDE5
Inhibitors as Potential Agents for Treatment of Erectile Dysfunction
AU Rotella, David P.; Sun, Zhong; Zhu, Yeheng; Krupinski, John; Pongrac,
Ronald; Seliger, Laurie; Normandin, Diane; Macor, John E.
CS Discovery Chemistry and Cardiovascular Drug Discovery, Bristol-Myers
Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-5400, USA
SO Journal of Medicinal Chemistry (2000), 43(7), 1257-1263
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **269731-53-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of imidazoquinazolinones as potent and selective PDE5
inhibitors and potential agents for treatment of erectile dysfunction)
RN 269731-53-5 CAPLUS
CN Benzoic acid, 5-[(4-methyl-1-piperazinyl)sulfonyl]-2-propoxy-, lithium
salt (9CI) (CA INDEX NAME)

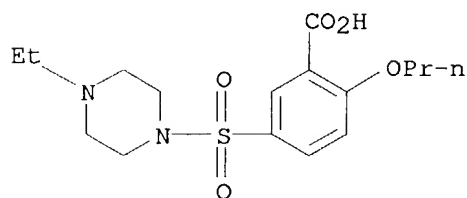


● Li

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

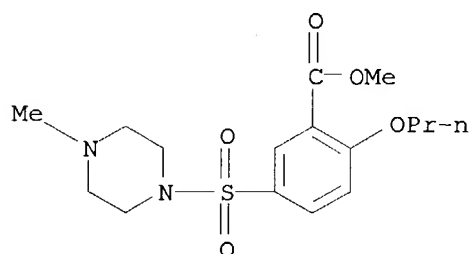
L5 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:795656 CAPLUS
 DN 132:35712
 TI Preparation of quinazolinone inhibitors of cGMP phosphodiesterase
 IN Macor, John E.; Rotella, David P.; Weller, Harold N., III; Cushman, David W.; Yevich, Joseph P.
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 141 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 9964004 | A1 | 19991216 | WO 1999-US12485 | 19990602 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6087368 | A | 20000711 | US 1999-322678 | 19990528 |
| | CA 2334970 | AA | 19991216 | CA 1999-2334970 | 19990602 |
| | AU 9943332 | A1 | 19991230 | AU 1999-43332 | 19990602 |
| | AU 746586 | B2 | 20020502 | | |
| | EP 1085871 | A1 | 20010328 | EP 1999-955422 | 19990602 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| PRAI | US 1998-88538P | P | 19980608 | | |
| | WO 1999-US12485 | W | 19990602 | | |
| OS | MARPAT 132:35712 | | | | |
| IT | 215299-79-9P 252233-57-1P 252233-58-2P | | | | |
| | 252233-60-6P | | | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (preparation of quinazolinone inhibitors of cGMP phosphodiesterase) | | | | |
| RN | 215299-79-9 CAPLUS | | | | |
| CN | Benzoic acid, 5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxy- (9CI) (CA INDEX NAME) | | | | |



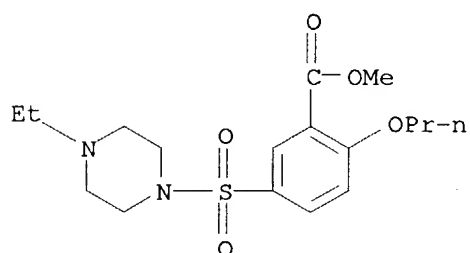
RN 252233-57-1 CAPLUS
 CN Benzoic acid, 5-[(4-methyl-1-piperazinyl)sulfonyl]-2-propoxy-, methyl ester (9CI) (CA INDEX NAME)

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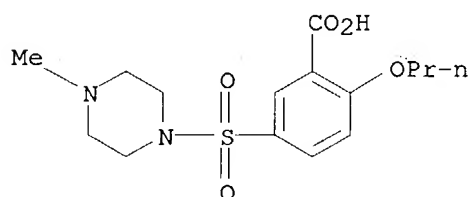
RN 252233-58-2 CAPLUS

CN Benzoic acid, 5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxy-, methyl ester
(9CI) (CA INDEX NAME)



RN 252233-60-6 CAPLUS

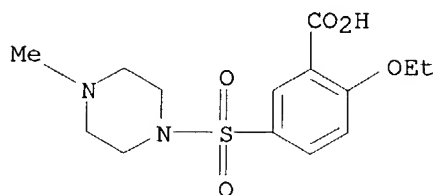
CN Benzoic acid, 5-[(4-methyl-1-piperazinyl)sulfonyl]-2-propoxy- (9CI) (CA
INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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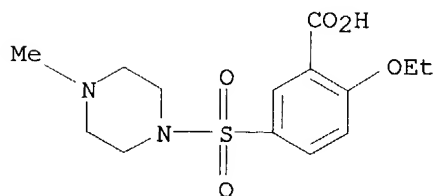
L5 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:784593 CAPLUS
DN 132:109700
TI The Chemical Development of the Commercial Route to Sildenafil: A Case History
AU Dale, David J.; Dunn, Peter J.; Golightly, Clare; Hughes, Michael L.; Levett, Philip C.; Pearce, Andrew K.; Searle, Patricia M.; Ward, Gordon; Wood, Albert S.
CS Department of Process Research and Development, Pfizer Central Research Laboratories, Sandwich Kent, CT13 9NJ, UK
SO Organic Process Research & Development (2000), 4(1), 17-22
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
IT **194602-23-8P**, 2-Ethoxy-5-(4-methyl-1-piperazinesulphonyl)benzoic acid **215299-33-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate double salt; chemical engineering process development of com. synthesis route to Sildenafil)
RN 194602-23-8 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 215299-33-5 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]-, monohydrochloride, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

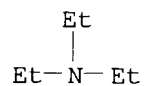
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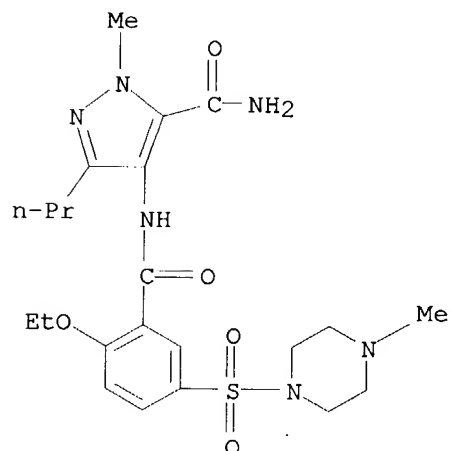
CM 2

10/808.027

CRN 121-44-8
CMF C6 H15 N



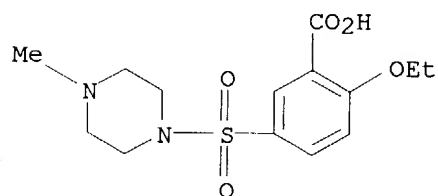
IT 200575-15-1P, 4-[2-Ethoxy-5-(4-methyl-1-piperazinylsulphonyl)benzamido]-1-methyl-3-propyl-1H-pyrazole-5-carboxamide
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; chemical engineering process development of com. synthesis route to Sildenafil)
RN 200575-15-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



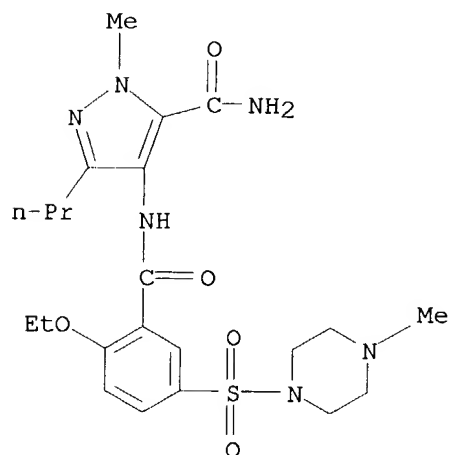
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/808.027

L5 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:729792 CAPLUS
DN 132:279187
TI Synthesis of sildenafil
AU Shen, Jing; You, Congchao; Wu, Song
CS Institute of Materia Medica, Chinese Academy of Materia Medica and Peking
Union Medical College, Beijing, 100050, Peop. Rep. China
SO Zhongguo Yaowu Huaxue Zazhi (1999), 9(3), 220-222
CODEN: ZYHZEJ; ISSN: 1005-0108
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu
DT Journal
LA Chinese
IT **194602-23-8P 200575-15-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis of sildenafil)
RN 194602-23-8 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA
INDEX NAME)



RN 200575-15-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[(4-methyl-1-
piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX
NAME)



L5 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:721699 CAPLUS
 DN 129:330741
 TI Preparation of pyrazolopyrimidinones as inhibitors of type 5 cyclic
 guanosine 3',5'-monophosphate phosphodiesterase (cGMP PDE5) for the
 treatment of sexual dysfunction.
 IN Bunnage, Mark Edward; Mathias, John Paul; Street, Stephen Derek Albert;
 Wood, Anthony
 PA Pfizer Ltd., UK; Pfizer Inc.
 SO PCT Int. Appl., 157 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | WO 9849166 | A1 | 19981105 | WO 1998-EP2257 | 19980410 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | TW 524805 | B | 20030321 | TW 1998-87102657 | 19980224 |
| | AU 9876445 | A1 | 19981124 | AU 1998-76445 | 19980410 |
| | AU 730670 | B2 | 20010308 | | |
| | EP 977756 | A1 | 20000209 | EP 1998-924132 | 19980410 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
| | TR 9902646 | T2 | 20000522 | TR 1999-9902646 | 19980410 |
| | JP 2000510485 | T2 | 20000815 | JP 1998-546543 | 19980410 |
| | JP 3563078 | B2 | 20040908 | | |
| | BR 9810233 | A | 20001017 | BR 1998-10233 | 19980410 |
| | NZ 338075 | A | 20001027 | NZ 1998-338075 | 19980410 |
| | CA 2288910 | C | 20030624 | CA 1998-2288910 | 19980410 |
| | AP 1002 | A | 20010814 | AP 1998-1228 | 19980423 |
| | W: KE, UG, ZM, ZW, GM, MW, BW | | | | |
| | ZA 9803478 | A | 19991025 | ZA 1998-3478 | 19980424 |
| | HR 980222 | B1 | 20031231 | HR 1998-980222 | 19980424 |
| | US 6723719 | B1 | 20040420 | US 1999-402229 | 19990929 |
| | MX 9909762 | A | 20000430 | MX 1999-9762 | 19991022 |
| | NO 9905211 | A | 19991025 | NO 1999-5211 | 19991025 |
| | JP 2004196820 | A2 | 20040715 | JP 2004-59879 | 20040303 |
| | US 2004180944 | A1 | 20040916 | US 2004-808027 | 20040323 |
| PRAI | GB 1997-8406 | A | 19970425 | | |
| | GB 1997-15380 | A | 19970722 | | |
| | GB 1997-22954 | A | 19971030 | | |
| | JP 1998-546543 | A3 | 19980410 | | |
| | WO 1998-EP2257 | W | 19980410 | | |
| | US 1999-402229 | A3 | 19990929 | | |

OS MARPAT 129:330741

IT **194602-23-8P 215299-33-5P 215299-34-6P**

215299-79-9P 215299-80-2P 215299-81-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

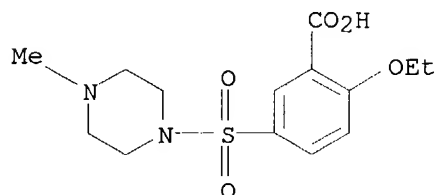
(preparation of pyrazolopyrimidinones as inhibitors of type 5 cyclic

10/808.027

guanosine 3',5'-monophosphate phosphodiesterase for the treatment of sexual dysfunction)

RN 194602-23-8 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA INDEX NAME)



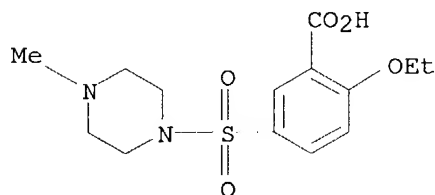
RN 215299-33-5 CAPLUS

CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]-, monohydrochloride, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

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CRN 194602-23-8

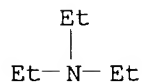
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CRN 121-44-8

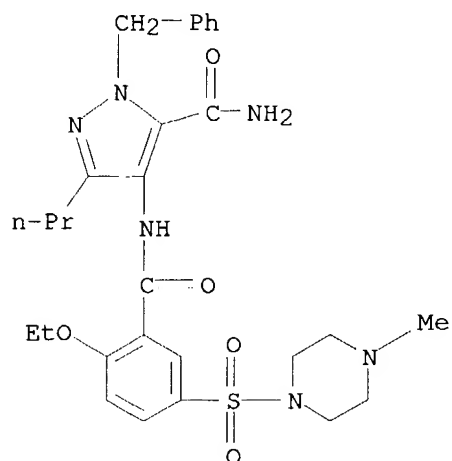
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RN 215299-34-6 CAPLUS

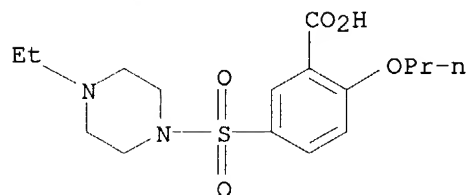
CN 1H-Pyrazole-5-carboxamide, 4-[[[2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]benzoyl]amino]-1-(phenylmethyl)-3-propyl]- (9CI) (CA INDEX NAME)

10/808.027



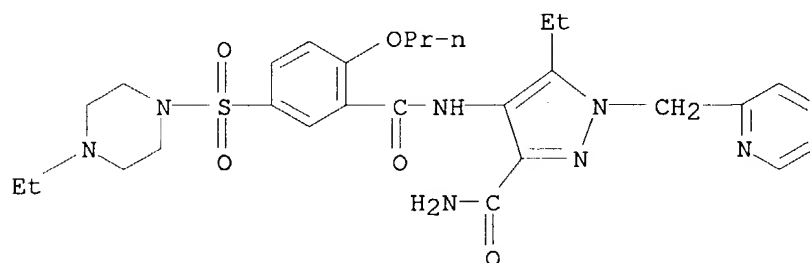
RN 215299-79-9 CAPLUS

CN Benzoic acid, 5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxy- (9CI) (CA INDEX NAME)



RN 215299-80-2 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-ethyl-4-[[5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxybenzoyl]amino]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 215299-81-3 CAPLUS

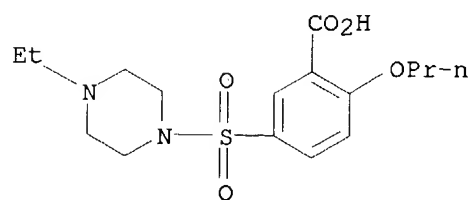
CN Benzoic acid, 5-[(4-ethyl-1-piperazinyl)sulfonyl]-2-propoxy-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 215299-79-9

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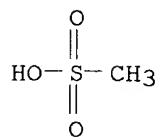
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CM 2

CRN 75-75-2

CMF C H4 O3 S



RE.CNT 4

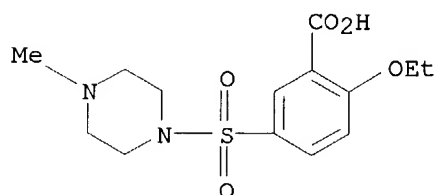
THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:13695 CAPLUS
 DN 128:75412
 TI Process for preparation of Sildenafil by cyclization
 IN Dunn, Peter James; Wood, Albert Shaw
 PA Pfizer Limited, UK; Pfizer Research and Development Company, N.V./s.A.
 SO Eur. Pat. Appl., 18 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

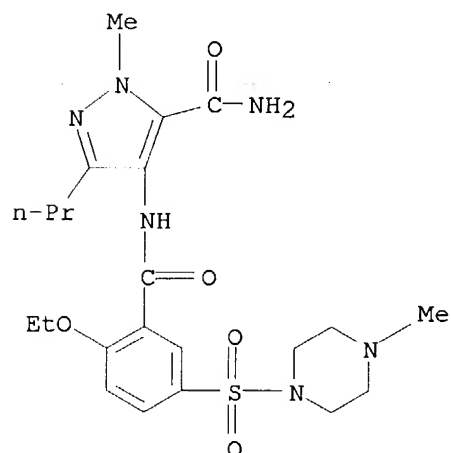
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | EP 812845 | A1 | 19971217 | EP 1997-303832 | 19970604 |
| | EP 812845 | B1 | 19990714 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO | | | | |
| | TW 391961 | B | 20000601 | TW 1997-86106681 | 19970519 |
| | NO 9702481 | A | 19971215 | NO 1997-2481 | 19970530 |
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| | EP 916675 | A3 | 19990714 | | |
| | EP 916675 | B1 | 20030730 | | |
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| | IN 187350 | A | 20020330 | IN 1997-DE1548 | 19970610 |
| | SK 283894 | B6 | 20040406 | SK 2001-1783 | 19970610 |
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| | SK 283896 | B6 | 20040406 | SK 2001-1786 | 19970610 |
| | SK 283897 | B6 | 20040406 | SK 2001-1787 | 19970610 |
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PRAI GB 1996-12514 A 19960614
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US 1997-869532 A3 19970606
IN 1997-DE1548 A 19970610
JP 1997-156422 A3 19970613
OS CASREACT 128:75412
IT **194602-23-8P 200575-15-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(process for preparation of clin. quality sildenafil by cyclization)
RN 194602-23-8 CAPLUS
CN Benzoic acid, 2-ethoxy-5-[(4-methyl-1-piperazinyl)sulfonyl]- (9CI) (CA
INDEX NAME)



RN 200575-15-1 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 4-[[2-ethoxy-5-[(4-methyl-1-
piperazinyl)sulfonyl]benzoyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX
NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

88.73

244.78

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